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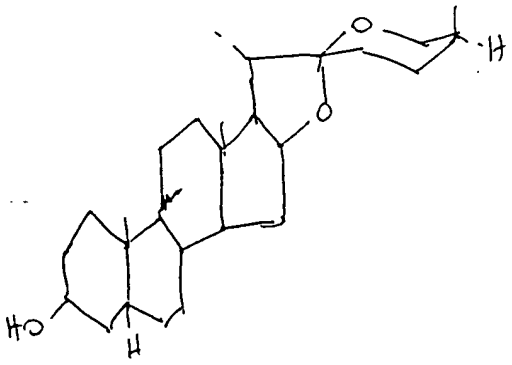
(54) Title of Innovation: A drug which has two-way regulatory effects on β-adrenergic and M-cholinergic receptors, and its manufacturing technique.

(57) Abstract: This invention is Zhi Mu Sarsasapogenin (ZMS) and its manufacturing method. ZMS is a drug which has two-way regulatory effects on β-adrenergic and M-cholinergic receptors. The drug possesses a special advantage of having two-way regulatory effects on the two types of receptor. Unlike an agonist or antagonist in Western medicine, it does not result in a phenomenon of "rebound" or "recurrence" after its administration is stopped. Its manufacturing technique has such advantages as low costs, high rate of output, easy to operate, and suitable for large-scale production.

Claims

1. Zhi Mu Sarsasapogenin (ZMS)- a drug which has two-way regulatory effects on β-adrenergic and M-cholinergic receptors

Its features lie in its structure:



2. The manufacturing procedure of ZMS as described in Claim 1.

Features of the manufacturing procedure are:

a. Anemarrhena asphodeloides Bunge is sliced, dried and ground into powder, and then soaked in lukewarm water.

b. 3.5 times of 3% H_2SO_4 is added, and hydrolysed for 6-10 hours under 115-122 °C and 0.7-1.2 kgf/cm. The solution is filtered, and the residue is washed until it becomes neutral and then dried.

c. The dried residue is extracted twice under reflux with Ethyl Acetate, and then re-crystallised using acetone.

Expositions

A drug which has two-way regulatory effects on β-adrenergic and M-cholinergic receptors, and its manufacturing technique

This invention has revealed a drug which has two-way regulatory effects on β-adrenergic and M-cholinergic receptors - Zhi Mu Sarsasapogenin, and its manufacturing technique. The invention belongs to the area of drug manufacturing.

Increasing attention has been paid to the biological and medical significance of the cell receptor. It has close relations with activities of the central nervous system, regulatory effects of nervous endocrine on cells, drug effects and immune adjustment. The relationship between the cell receptor and clinic has also been gradually recognised. Quick progress has been made in receptor drug research in the world. However, these receptor drugs are mainly synthetic. They are basically agonists or antogonists which exert combined effects via binding themselves with receptors. Their common shortcomings include various side effects, short period of action, and possible phenomenon of "rebound" or "recurrence" when drug administration is stopped.

The objective of this invention is to present a drug which has regulatory effects on adrenergic and M-cholinergic receptors, and the manufacturing procedure which is suitable for large-scale production, easy to operate, low in costs, and high in the rate of output.

The objective is realised via the following technical scheme:

Anemarrhena asphodeloides Bunge is sliced, dried and ground into powder, and then soaked in lukewarm water. 3.5 times of 3% H_2SO_4 is added, and hydrolysed for 6 hours under 0.7-1.2 kgf/cm and 115-122 °C. The solution is filtered, and the residue is washed until it becomes neutral and then dried. The dried residue is extracted twice under reflux with Ethyl Acetate, and finally re-crystallised using acetone to obtain over 95% Zhi Mu Sarsasapogenin - (3β, 5β, 25s) - Spironstan -301. Its structure is as follows:

The distinct features of this invention are:

1. Observable downward regulation towards normalisation of a pathological rise in number of β-adrenergic receptors of internal organs (including brain) and lymphocyte of peripheral blood streams, and of a rise in plasma CAMP caused by β-adrenergic receptor agonists.

2. Observable upward regulation towards near normalisation of a pathological fall in M-cholinergic receptors of internal organs (including brain).

3. Observable upward regulation of a fall in in M-cholinergic receptors of animal brain caused by natural ageing and observable prolongation of animal life

4. Unlike an agonist or antogonist in Western medicine, ZMS does not occupy the binding sites of receptors to exert combined effects. Rather, it regulates speeds of the formation and disintegration of receptor molecules. Thus, it has no "rebound" or "recurrence" effects associated with agonists or antogonists.

5. The manufacturing procedure is simple, its cost is low, and the rate of output is high. ZMS is suitable for industrial production.

The invention is further described using the following examples:

Example 1:
100 gram of Anemarrhena asphodeloides Bunge is sliced, ground into powder, and soaked in lukewarm water. 3.5 times of 3% H_2SO_4 is added, and acidolysed for 8 hours under 0.7 kgf/cm and 115 °C. The residue is washed until it becomes neutral, dried at 80 °C, and then extracted with Ethyl Acetate under reflux. The reagent is retrieved, and the residue is re-crystallised twice using acetone to obtain over 95% Zhi Mu Sarsasapogenin (the rate of output is 1.2%).

Example 2:
300 gram of Anemarrhena asphodeloides Bunge is sliced, ground into powder, and soaked in lukewarm water. 3.5 times of 3% H_2SO_4 is added, and acidolysed for 10 hours under 1.05 kgf/cm and 121°C. The residue is washed until it becomes neutral, dried at 80C, and then extracted with Ethyl Acetate under reflux. The reagent is retrieved, and the residue is re-crystallised twice using acetone to obtain over 95% Zhi Mu Sarsasapogenin (the rate of output is 2.0%).